U.S. DEPARTMENT OF COMMERCE
Patent and Trademark Office

SEARCH REQUEST FORM

4-540

Requestor's Name: Ted CRIARES

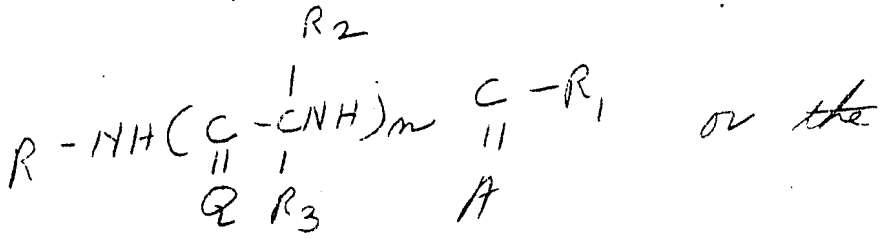
Serial Number: 08/003208

Date: 9/29/93 Phone: 308-4607 Art Unit:

Search Topic:

Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevant citations, authors keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevant claim(s).

Please search for the compound



or the N-oxide thereof

R and R_1 = anything

R_2 and R_3 = anything

A and Q are O or S but one must be S

see claim 1

FOR OFFICIAL USE ONLY

STAFF USE ONLY

Date completed: 9-30-93

Searcher: JOHN DANT

Search Site

_____ STIC

Vendors

_____ IG Suite

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COPYRIGHT (C) 1993 American Chemical Society (ACS)

STRUCTURE FILE UPDATES:  25 SEP 93   HIGHEST RN 150282-88-5
DICTIONARY FILE UPDATES: 28 SEP 93   HIGHEST RN 150282-88-5

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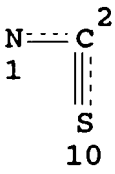


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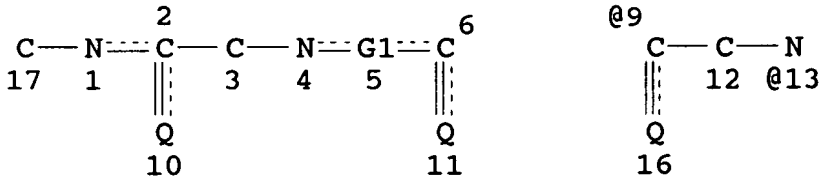


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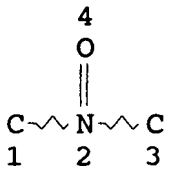


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L22            1 SEA FILE=REGISTRY SUB=L21 SSS FUL L18

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N-oxide

L22 ANSWER 1 OF 1 COPYRIGHT 1993 ACS
RN 131806-79-6 REGISTRY
CN Norvalinamide, N-[[(1,1-dimethylethyl)amino]thioxomethyl]-L-phenylalanyl-N-[2-cyclohexyl-1-[[(cyclohexylmethyl)imino]methyl]ethyl]-, N-oxide, (S)- (9CI) (CA INDEX NAME)
MF C35 H57 N5 O3 S
SR CA
LC CA
DES *

Ring System Data

Elemental Analysis EA	Elemental Sequence ES	Size of the Rings SZ	Ring System Formula RF	Ring Identifier RID	RID Occurrence Count
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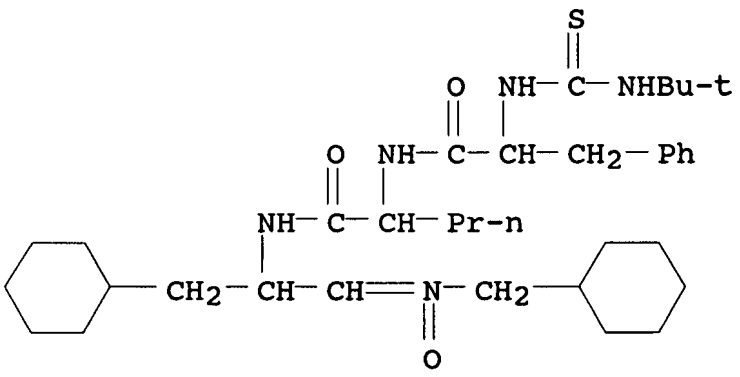
C6	C6	6	C6	46.150.18	1



N-OXIDE ★

1 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1

AN CA114(9):82554t
TI Preparation of [(peptidylamino)propylidene]amine N-oxides as inhibitors for renin and retroviral proteinases
AU Rueger, Wolfgang; Urbach, Hansjoerg; Ruppert, Dieter; Schoelkens, Bernward
CS Hoechst A.-G.
LO Fed. Rep. Ger.
SO Ger. Offen., 17 pp.
PI DE 3842067 A1 21 Jun 1990
AI DE 88-3842067 14 Dec 1988
IC ICM C07K005-06
ICS C07K005-08; C07K001-06; C07K001-08; C07K001-10; A61K037-02; A61K031-195
SC 34-3 (Amino Acids, Peptides, and Proteins)
SX 1
DT P
CO GWXXBX

PY 1990
LA Ger
AB R1-A-D-CHR3CO-B-NHCHR2CH:N(O)R4 [I; R1 = H, (un)substituted C1-18 alkyl, C3-7 cycloalkyl, C6-14 aryl, 5-7 membered (un)substituted heterocyclyl, etc.; R2 = H, C1-10 alkyl, C4-7 cycloalkyl, C6-14 aryl, 4-7 membered O- or S-contg. heterocyclyl; R3 = (un)substituted C6-14 aryl, C6-14 aryl(C1-14 alkyl), (un)substituted thienyl or pyridyl; R4 = (un)substituted C1-8 alkyl, C3-8 cycloalkyl, C6-14 aryl, etc.; A = bond, S, O, etc.; B = amino acid residue], and their physiol. compatible salts, are prepd. I are useful as antihypertensives and for the treatment of heart insufficiency and viral diseases (no data). Thus, N-[3-cyclohexyl-(2S)-(N-tert-butoxycarbonyl-L-phenylalanyl-L-histidylamino)propylidene]-N-[(1S)-ethoxycarbonyl-2-methyl-2-propyl]amine N-oxide was prepd. by soln.-phase coupling of BOC-Phe-His(DNP)-OH (DNP = 2,4-dinitrophenyl) with N-[(2S)-amino-3-cyclohexylpropylidene]-N-[(1S)-ethoxycarbonyl-2-methyl-1-propyl]amine N-oxide (prepn. of both compds. given). I in vitro inhibited renin with IC50 of 10-5 to 10-10 M and HIV-proteinase with IC50 of 10-4 to 10-8M.
KW peptidylaminopropylideneamine oxide prepn renin inhibitor; amine oxide peptidylaminopropylidene renin inhibitor; HIV proteinase inhibitor peptide prepn; antihypertensive peptide aminde prepn; heart insufficiency treatment peptide prepn; antiviral peptide prepn
IT Peptides, preparation
((peptidylaminopropylidene)amine oxides, prepn. of, as renin and retroviral proteinase inhibitors)
IT 9015-94-5, Renin, biological studies
(inhibitors, (peptidylaminopropylidene)amine oxides as)
IT 9001-92-7, Proteinase
(of HIV, inhibition of, by (peptidylaminopropylidene)amine oxides)
IT 115766-13-7P
(prepn. and reaction of, in prepn. of renin and retroviral proteinase inhibitor)
IT 3217-92-3P 4715-11-1P 78746-56-2P 98105-42-1P 110695-91-5P 130129-73-6P 130129-74-7P 130129-75-8P 131806-52-5P 131806-53-6P 131806-54-7P 131806-55-8P 131806-56-9P 131806-57-0P 131806-58-1P 131806-59-2P 131806-60-5P 131806-61-6P 131806-62-7P
(prepn. of, as intermediate for renin and retroviral proteinase inhibitor peptides)
IT 131806-71-8P 131806-72-9P 131806-73-0P 131806-74-1P 131806-75-2P 131806-76-3P 131806-77-4P 131806-78-5P 131806-79-6P 131806-80-9P 131806-81-0P 131806-82-1P 131806-83-2P 131899-03-1P 131899-04-2P 131899-05-3P 131899-06-4P
(prepn. of, as renin and retroviral proteinase inhibitor)
IT 109-90-0, Ethyl isocyanate 123-11-5, 4-Methoxybenzaldehyde, reactions 593-77-1, N-Methylhydroxylamine 1117-97-1 2043-61-0, Cyclohexanecarbaldehyde 3674-06-4 5042-80-8 17609-47-1 25024-53-7 37736-82-6 50632-53-6, N-Isopropylhydroxylamine hydrochloride 56558-30-6 123706-59-2 131806-84-3
(reaction of, in prepn. of renin and retroviral proteinase inhibitor)

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L7            102 S L6 OR L4 OR L2
L8              0 S OXIDE AND L7
L9                STR L3
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L11            50 S L5 AND L10
L12               STR L5
L13            50 S L12 AND L10
L14               STR
L15            15 S L12 AND L14
L16             2 S L15 AND OXIDE
L17             0 S L12 AND L3 AND L14
L18               STR L14
L19             0 S L12 AND L3 AND L18
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L22             1 SEARC L18  SUB=L21 FUL

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FILE COVERS 1967 - 18 Sept 93 (930918/ED)  VOL 119 ISS 12.

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L23          432 L21

=> s l23 and us/pc
        228705 US/PC
L24           26 L23 AND US/PC

=> d bib abs hitrn

L24  ANSWER 1 OF 26  COPYRIGHT 1993 ACS
AN   CA118(11):102481e
TI   Preparation of N-(bisalkoxyphosphoryl)peptides as renin inhibitors
AU   Doherty, Annette M.; Hamilton, Harriet W.; Steinbaugh, Bruce A.
CS   Warner-Lambert Co.
LO   USA
SO   U.S., 26 pp.
PI   US 5149692  A  22 Sep 1992
AI   US 89-454795  21 Dec 1989
IC   ICM  C07K005-06
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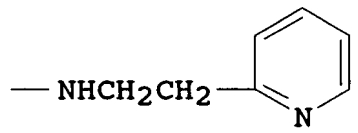
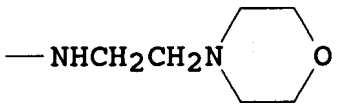
1485 cmpds

432 citations

26 US patents

ICS C07K005-08
NCL 514018000
SC 34-3 (Amino Acids, Peptides, and Proteins)
SX 1
DT P
CO USXXAM
PY 1992
LA Eng
OS MARPAT 118:102481
AN CA118(11):102481e
GI

Q1=  Q2= 

AB AXYWU [I; A = R1O(RO)P(O); R, R1 = H, PhCH2, alkyl, alkenyl; X = Phe, Tyr, Tyr(OMe), homophenylalanyl, cyclohexylalanyl, Leu, Trp, His, MePhe; Y = Gln, His, Leu, Met, Met(O), Met(O2), 2S-aminopentanoyl, 2S-amino-3-(4-thiazolyl)propanoyl, 2S-amino-4-pentenoyl, etc.; W = statinyl, 4S-amino-3S-hydroxy-5-cyclohexanepentanoyl, 3RS,4S-diamino-6-methylheptanoyl, etc.; U = H, NHCH2CH2N(CH2CH2OH)2, morpholino, Q2, Q2], were prepd. Thus, BOC-Alg-Cysta-Aen [Alg = 2S-amino-4-pentenoyl, Cysta = 4S-amino-3S-hydroxy-5-cyclohexanepentanoyl, Aen = N-(2-aminoethyl)morpholine] was stirred with CF3CO2H in CH2Cl2 and the residue was treated with HCl in CH2Cl2. The product was stirred with (Me2CH)2NEt, Q3-Phe-OH [Q3 = (Me2CH)2P(O)] (prepn. given), hydroxybenzotirazole, and DCC in DMF to give Q3-Phe-Alg-Cysta-Aen. The latter inhibited renin with IC50 = 0.97 .times. 10-9 M.

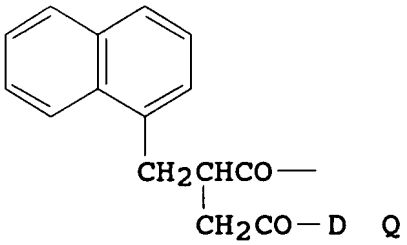
IT 61172-71-2P 90600-20-7P 110497-19-3P 118233-28-6P
118272-81-4P 118317-76-3P 119808-16-1P 119808-65-0P
119808-68-3P 124278-65-5P 135704-31-3P 145705-36-8P
145705-37-9P 145705-38-0P 145705-39-1P 145705-40-4P
145705-41-5P 145705-42-6P 145705-43-7P 145705-52-8P
145774-98-7P 145774-99-8P **145775-00-4P** 145775-01-5P
145841-09-4P
(prepn. of, as intermediate for renin inhibitor)

=> d bib abs hitrn 2-10

L24 ANSWER 2 OF 26 COPYRIGHT 1993 ACS
AN CA118(3):22635t
TI Peptide derivatives of 3-amino-2-hydroxypropionic acid as inhibitors of renin
AU Hamilton, Harriet W.; Patt, William C.
CS Warner-Lambert Co.
LO USA
SO U.S., 14 pp.
PI US 5135914 A 4 Aug 1992
AI US 88-197547 23 May 1988
IC ICM A61K031-415
ICS C07D233-64

NCL 514019000
SC 34-3 (Amino Acids, Peptides, and Proteins)
SX 1
DT P
CO USXXAM
PY 1992
LA Eng
OS MARPAT 118:22635
AN CA118(3):22635t
AB R1CH2CHR2CONHCHR3CONHCHR4CH(OH)COR5 [R1 = naphthyl (substituted)phenyl, alkoxy; R2 = morpholinocarbonylmethyl, naphthylmethyl, Me3CO2CNH, PhCOCH2, aminosulfonylamino; R3 = 4-imidozolyl, alkoxycarbonyl, substituted aminoalkyl; R4 = cyclohexylmethyl, Me2CHCH2; R5 = alkoxy, alkylamino, phenylalkyl, pyridinylalkyl, heterocyclyl; provisos given], were prepd. Thus, .alpha.-(1-naphthylmethyl)-1-naphthalenepropanoic acid was coupled with iso-Pr [2R-[2R*, 3S*(S*)]]-3-[[2-amino-1-oxo-3-[1-(triphenylmethyl)-1H-imidazol-4-yl)propyl]amino]-2-hydroxy-5-methylhexanoate using DCC/1-hydroxybenzotriazole in DMF and the product was heated in HOAc at 100.degree. to give iso-Pr [2R-[2R*, 3S*(S*)]]-2-hydroxy-3-[[3-(1H-imidazol-4-yl)-2-[[3-(1-naphthalenyl)-2-(1-naphthalenylmethyl)-1-oxopropyl]amino]-1-oxopropyl]amino]-5-methylhexanoate. The latter inhibited resin with IC50 = 1.4 .times. 10-7 M.
IT 144980-10-9P 144980-11-0P 144980-12-1P 144980-13-2P
144980-14-3P 144980-15-4P 145033-10-9P
145033-11-0P 145107-12-6P
(prepn. of, as renin inhibitor)

L24 ANSWER 3 OF 26 COPYRIGHT 1993 ACS
AN CA116(9):84192p
TI Preparation of peptides as renin inhibitors for treatment of hypertension
AU Doherty, Annette M.; Hudspeth, James P.; Kaltenbronn, James S.; Repine, Joseph T.; Roark, William H.; Sircar, Ila; Tinney, Francis J.
CS Warner-Lambert Co.
LO USA
SO U.S., 88 pp. Cont.-in-part of U.S. Ser. No. 113,278, abandoned.
PI US 5024994 A 18 Jun 1991
AI US 88-233320 17 Aug 1988
PRAI US 86-945582 23 Dec 1986
US 87-113278 2 Nov 1987
IC ICM A61K037-02
ICS C07K005-00
NCL 514018000
SC 34-3 (Amino Acids, Peptides, and Proteins)
SX 1
DT P
CO USXXAM
PY 1991
LA Eng
OS MARPAT 116:84192
AN CA116(9):84192p
GI



AB Acyl-X-Y-W-U-V [acyl = BOC, isovaleryl, n-valeryl, Q, DNMA, etc.; DNMA = di(1-naphthylmethyl)acetyl; D = MeO, heterocyclyl, NMeCH2CO2Me; X = Phe, homophenylalanine residue, cyclohexylalanine residue, etc.; Y = .alpha., .omega.-diamino acid residue; W = STA, 4(S)-amino-3(S)-hydroxy-5-phenylpentanoic acid residue, 4(S)-amino-3(S)-hydroxy-5-cyclohexanepentanoic acid residue; STA = 4(S)-amino-3(S)-hydroxy-6-methylheptanoic acid residue; U = Leu, Ile, Val, MeLeu, MeIle; V = substituted amino] and their pharmaceutically acceptable salts were prepd. ClCH2C.tplbond.CCH2NHAc (prepn. given) was condensed with DNMA-NHCH(CO2Et)2 [prepd. from DNMA-Cl and H2NCH(CO2Et)2] and the resulting DNMA-NHC(CHO2Et)2CH2C.tplbond.CCH2NHAc decarboxylated and then hydrolyzed to give DNMA-NHCH(CO2H)CH2C.tplbond.CCH2NHAc, which was coupled with H-STA-NHCH2CHMeEt to give two diastereomers of DNMA-NHCH[CH2C.tplbond.CCH2NHAc]CO-STA-NHCH2CHMeEt. The diastereomer that was more sol. in EtOAc had an IC50 of 2.9 .times. 10-8M against the activity of renin in vitro.

IT 1069-48-3P 3054-07-7P 14109-62-7P 14328-63-3P 17461-58-4P
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138750-52-4P
(prepn. of, as intermediate for renin-inhibiting peptides)

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138750-45-5P
(prepn. of, as renin inhibitor)

L24 ANSWER 4 OF 26 COPYRIGHT 1993 ACS
AN CA115(13):136789q
TI Preparation of C-terminal gastrin antagonists
AU Murphy, Richard Finbar; Douglas, Alistair J.; Walker, Brian
LO USA
SO U.S., 35 pp.
PI US 4997950 A 5 Mar 1991
AI US 89-341084 20 Apr 1989
IC ICM C07D473-00
ICS C07D209-20
NCL 548303000
SC 34-3 (Amino Acids, Peptides, and Proteins)
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LA Eng
OS MARPAT 115:136789
AN CA115(13):136789q

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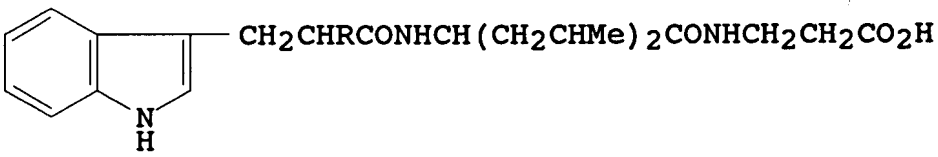


I

AB Title compds. I (R = biotin-NH, dansyl-NH, fluorescein-NH, H, NH2), antagonists of gastrin-stimulated acid secretion, are prepd. I were used to study structural activity of mol. and also to det. the smallest and highest affinity inhibitor of gastrin. Even small di- and tripeptide derivs. of gastrin C-terminal fragment with varied resistance to hydrolysic can exhibit antagonist activity to pentagastrin simulated gastric secretion. BOC-Leu-.beta.-Ala benzy ester (prepn. given) was deprotected for 1 h in HCl/Et2O, indole-3-propionic acid was coupled to the deprotected dipeptide ester to give the benzyl ester, which was removed by catalytic transfer hydrogenolysis to give I (R = H) (II) which was pptd. as the dicyclohexylamine salt. II showed 62.5% inhibition of pepsin secretion from gastric fistula.

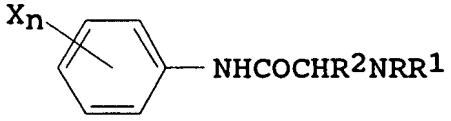
IT 52716-48-0P 73545-96-7P 129505-35-7P 129505-36-8P
129524-77-2P **135892-75-0P** 135892-76-1P 135892-78-3P
(prepn. and deprotection of)

IT 3303-84-2P 53363-89-6P 54518-92-2P 68172-12-3P 87421-27-0P
99701-61-8P 109522-19-2P 109522-21-6P 135892-64-7P
135892-69-2P 135892-70-5P 135892-71-6P 135892-72-7P
135892-73-8P 135892-74-9P 135892-79-4P 135892-80-7P
135892-81-8P
(prepn. and peptide coupling of, in prepn. of gastrin antagonist peptide)

IT **109522-13-6P 109522-14-7P 109522-15-8P**
116339-46-9P 116652-97-2P 122855-47-4P 127745-41-9P
129505-37-9P 129505-38-0P 129505-40-4P 129505-42-6P
129505-44-8P 135892-53-4P 135892-54-5P **135892-55-6P**
135892-56-7P 135892-57-8P 135892-58-9P 135892-59-0P
135892-60-3P 135892-61-4P 135892-62-5P **135970-00-2P**
135970-01-3P
(prepn. of, as gastric secretion inhibitor)

L24 ANSWER 5 OF 26 COPYRIGHT 1993 ACS
AN CA114(7):61705c
TI Preparation of 2-(disubstituted amino)acetanilide herbicides
AU Wee, Siok Hui H.
CS ICI Americas, Inc.
LO USA
SO U.S., 13 pp.
PI US 4944796 A 31 Jul 1990
AI US 88-270573 14 Nov 1988
IC ICM A01N037-26
ICS C07C103-64; C07C103-82
NCL 071118000
SC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
SX 5

DT P
CO USXXAM
PY 1990
LA Eng
OS MARPAT 114:61705
AN CA114(7):61705c
GI



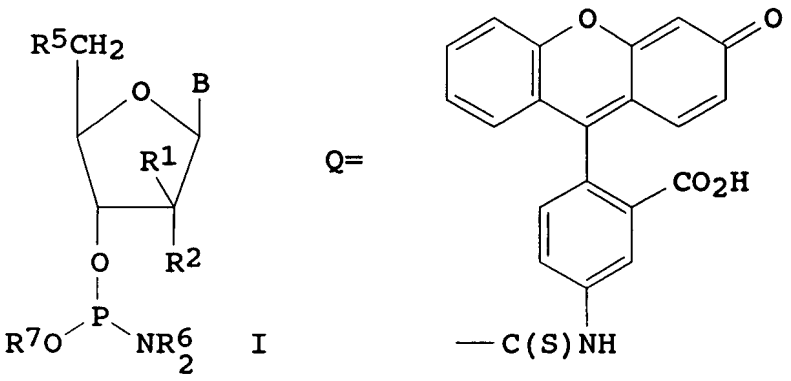
I

AB Title compds. I (R = alkyl, Ph; R1 = amino, alkyl, allyl, substituted carbonyl or carbamyl, alkythiothiocarbonyl, mono-haloanilinocarbonylmethylene, alkoxycarbonylmethylene, carboxymethylene; R2 = H, alkyl, Ph; X = halo, haloalkyl; n = 1-3) are prepd. To a CH2Cl2 soln. of 2,5-difluorosarcosineanilide and pyridine was added (F3CCO)2O and the mixt. was stirred for 2 h at room temp. to give I (R = Me; R1 = F3CCO; R2 = H; Xn = 2,5-F2). I (R = Me; R1 = EtSCO; R2 = H; Xn = 2,5-F2) at 4.48 kg/ha pre- and postemergence gave 100% control of Brassica kaber, Abutilon theophrasti, Ipomoea purpurea, and av. broadleaf.

IT 131654-85-8P 131654-86-9P 131654-87-0P 131654-88-1P
131654-89-2P 131654-90-5P 131654-91-6P 131654-92-7P
131654-93-8P 131654-94-9P 131654-95-0P 131654-96-1P
131654-97-2P 131654-98-3P 131654-99-4P 131655-00-0P
131655-01-1P 131655-02-2P 131655-03-3P 131655-04-4P
131655-05-5P 131655-06-6P 131655-07-7P 131655-08-8P
131655-09-9P 131655-10-2P 131655-11-3P 131655-12-4P
131655-13-5P 131655-14-6P 131655-15-7P 131655-16-8P
131655-17-9P 131655-18-0P 131655-19-1P 131655-20-4P
131655-21-5P 131655-22-6P 131655-23-7P 131655-24-8P
131655-25-9P **131655-26-0P** 131655-27-1P 131655-28-2P
131655-29-3P 131671-72-2P 131671-73-3P 131671-74-4P
131671-75-5P
(prepn. of, as herbicide)

L24 ANSWER 6 OF 26 COPYRIGHT 1993 ACS
AN CA112(23):217467y
TI Preparation of 2'- or 5'-aminodeoxynucleoside phosphoramidites and their use for the preparation of oligonucleotides having aliphatic amino groups
AU Smith, Lloyd M.; Fung, Steven
CS California Institute of Technology
LO USA
SO U.S., 30 pp. Cont.-in-part of U.S. Ser. No. 565,010, abandoned.
PI US 4849513 A 18 Jul 1989
AI US 86-878045 24 Jun 1986
PRAI US 83-565010 20 Dec 1983
US 85-709579 8 Mar 1985
IC ICM C07H019-10
ICS C07H019-20
NCL 536027000

SC 33-9 (Carbohydrates)
SX 9
DT P
CO USXXAM
PY 1989
LA Eng
OS MARPAT 112:217467
AN CA112(23):217467y
GI



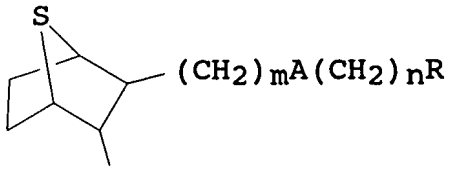
AB The title compds. [I; B = adenin-9-yl, guanin-9-yl, thymin-1-yl, cytosin-1-yl, uracil-1-yl, inosin-9-yl; R1, R2, R5 = H, OR, NHR8, with the proviso that one of R2, R2, and R5 = NHR8, and only R5 can be OH; R = monovalent C1-25 organ. protecting group; R8 = N-protecting group; R6 = lower alkyl, heterocyclyl; R7 = lower (cyano, halo, or nitrophenyl)alkyl], useful for the solid phase synthesis of oligonucleotide having aliph. NH2 groups which can be covalently linked to fluorescent dyes or other detectable moieties to give the corresponding labeled oligonucleotides, e.g. as DNA hybridization probes, are prepd. Thus, N-acylation of 5'-amino-5'-deoxythymidine by 9-fluorenylmethyl chloroformate in DMF contg. (isoPr)2NEt gave 5'-N-(9-fluorenylmethyloxycarbonyl)-5'-amino-5'-deoxythymidine which was treated 60 min with (isoPr)2NPClOMe in the presence of (isoPr)2NEt in CH2Cl2 to give I (B = thymin-1-yl, R1 = R2 = H, R5 = (9-fluorenylmethyloxycarbonyl)amino, R6 = isoPr, R7 = Me) (II). An oligodeoxyribonucleotide 3'-HOCpApTpGpCpTpCpT-NH2-5' (III) was prepd. by the solid phase method using II. Reaction of III with fluorescein-5-isothiocyanate in 1M aq. NaHCO3-Na2CO3 buffer (pH9) gave 3'-HOCpApTpGpCpTpCpT-NHQ-5'. Conjugation of eosin-5-isothiocyanate and Texas Red with 3'-HO(Tp)6T-MH2-5' on a solid support gave the corresponding fluorescent dye-labeled oligonucleotides.

IT **118849-40-4P** 126160-68-7P
(prepn. of, for nucleic acid hybridization)

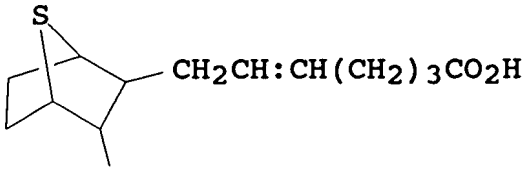
L24 ANSWER 7 OF 26 COPYRIGHT 1993 ACS
AN CA112(19):172344n
TI Irreversible inhibitors of adenosine receptors
AU Jacobson, K. A.

CS United States Dept. of Health and Human Services
LO USA
SO U. S. Pat. Appl., 31 pp. Avail. NTIS Order No. PAT-APPL-7-221 413.
PI US 221413 A0 1 Jul 1989
AI US 88-221413 19 Jul 1988
SC 1-11 (Pharmacology)
SX 28
DT P
CO XAXXAV
PY 1989
LA Eng
AN CA112(19):172344n
AB Irreversible ligands for adenosine receptors based on 8-aryl-substituted xanthines as antagonists or N6-substituted adenosines as agonists are prepd. as pharmaceuticals. Functionalized congeners are provided which contain electrophilic acylating and alkylating groups for reaction at nucleophilic residues of adenosine receptors. Improved diuretics, kidney-protective agents, cardiotonic agents, immunostimulants, vasodilators, antidiuretics, and immunosuppressants are described.
IT 96760-69-9P 96865-89-3P 96865-92-8P 100892-75-9P
100892-77-1P 104344-31-2P 117723-91-8P 117723-92-9P
117723-93-0P 117723-96-3P 120059-09-8P 120059-11-2P
120059-13-4P 120059-16-7P 120059-17-8P 120059-18-9P
120059-19-0P 120059-20-3P 120059-21-4P 120059-22-5P
120059-23-6P 120059-25-8P 120059-26-9P 120059-28-1P
120059-31-6P 120059-33-8P 120059-34-9P 120059-36-1P
120059-37-2P 120059-38-3P 120059-39-4P 120059-40-7P
120059-42-9P 120085-28-1P 120085-29-2P 120085-30-5P
120085-31-6P 126433-03-2P 126433-04-3P 126463-01-2P
(prepn. of and adenosine receptor inhibition by)

L24 ANSWER 8 OF 26 COPYRIGHT 1993 ACS
AN CA110(13):114554d
TI Preparation of [(amidoalkyl)thiabicycloheptanyl]alkan- and -enoates as platelet aggregation and bronchoconstriction inhbitors
AU Nakane, Masami
CS Squibb, E. R., and Sons, Inc.
LO USA
SO U.S., 44 pp.
PI US 4735962 A 5 Apr 1988
AI US 86-916083 6 Oct 1986
IC ICM C07D409-06
ICS C07D333-78; @@@@@@@-@@@
NCL 514382000
SC 26-3 (Biomolecules and Their Synthetic Analogs)
SX 1
DT P
CO USXXAM
PY 1988
LA Eng
OS MARPAT 110:114554
AN CA110(13):114554d
GI



I



II

AB The title compds. [I; A = CH2CH2, CH:CH; R = CO2H, alkoxycarbonyl, alkali metal carboxylate, polyhydroxyalkylammonium carboxylate, (5-tetrazolyl)hydroxymethyl, CONR3R4; R3,R4 = H, alkyl, OH, alkoxy, aryl; Z = NR1COR2, NR1CSR2, CONR1R2, CSNR1R2, R2NHCO2; R1 = H, alkyl; R2 = R1, alkenyl, alkynyl, etc.; m, p = 1-4; n = 1-5; q = 1-12] were prepd. as platelet aggregation and bronchoconstriction inhibitors (no data). Title compd. II was prepd. in 20 steps starting with AcOCH:CHCH:CH2 and p-quinone.

IT 117232-66-3P 117232-67-4P 117232-68-5P 117232-69-6P
117232-70-9P 117232-71-0P 117232-72-1P **117232-73-2P**
117232-74-3P 117232-75-4P 117232-76-5P 117232-77-6P
117232-78-7P 117232-79-8P 117232-80-1P 117232-81-2P
117232-82-3P 117232-83-4P 117232-84-5P 117232-85-6P
117232-86-7P 117232-87-8P 117232-88-9P 117232-89-0P
117232-90-3P 117232-91-4P 117232-92-5P 117232-93-6P
117232-94-7P 117232-95-8P 117232-96-9P 117232-97-0P
117232-98-1P 117232-99-2P 117233-00-8P 117233-01-9P
117233-02-0P 117233-05-3P 117233-06-4P 117233-07-5P
117404-56-5P
(prepn. of, as platelet aggregation and bronchoconstriction inhibitor)

L24 ANSWER 9 OF 26 COPYRIGHT 1993 ACS
AN CA110(7):58104t
TI Preparation and testing of peptide thioneamides as selective substrates for cysteine proteases
AU Cho, Kyujin; Rasnick, David W.
CS Enzyme Systems Products, Inc.
LO USA
SO U.S., 6 pp.
PI US 4771123 A 13 Sep 1988
AI US 86-838531 11 Mar 1986
IC ICM C07K005-02
ICS C07K007-02
NCL 530323000
SC 34-3 (Amino Acids, Peptides, and Proteins)
SX 1, 9
DT P
CO USXXAM

PY 1988
LA Eng
OS MARPAT 110:58104
AN CA110(7):58104t
AB Xn1-X2-W [I; X1 = (blocked) (thionated) amino acid residue; X2 = thionated (blocked) amino acid residue; W = chromogenic or fluorogenic leaving group; n = 0-12] useful in clin. detn. of cysteine proteases, were prepd. Cbz-Arg(Mtr)-OH (Cbz = carbobenzyloxy, Mtr = 4-methoxy-2,3,6-trimethylbenzenesulfonyl) was coupled with 5-aminoisophthalic acid di-Me ester (AIE) via the mixed anhydride method and the product was refluxed 10 h with Lawesson's reagent in C6H6 followed by deprotection with HBr/HOAc to give H-Arg(CS)-AIE.2HBr (CS = thiocarbonyl). The latter was stirred with Cbz-Phe-OTcp (Tcp = trichlorophenyl) in DMF contg. N-methylmorpholine to give Cbz-Phe-Arg(CS)-AIE.HBr. The latter was not hydrolyzed by trypsin but was cleaved by papain with kcat = 5.35.
IT 111038-22-3P **111070-36-1P 111070-38-3P**
(prepn. of, as intermediate for cysteine protease substrate)
IT **111070-39-4P**
(prepn. of, as reagent for detn. of cysteine protease)
IT **111070-40-7P 118406-00-1P 118406-01-2P**
(prepn. of, as reagent for detn. of cysteine proteases)

L24 ANSWER 10 OF 26 COPYRIGHT 1993 ACS
AN CA109(11):92639k
TI Preparation of bisthioamide-7-oxabicycloheptane prostaglandin analogs as antithrombotics
AU Nakane, Masami; Reid, Joyce
CS Squibb, E. R., and Sons, Inc.
LO USA
SO U.S., 21 pp.
PI US 4738978 A 19 Apr 1988
AI US 86-928947 10 Nov 1986
IC ICM C07D307-00
ICS C07D405-06; A61K031-34; A61K031-41
NCL 514382000
SC 26-3 (Biomolecules and Their Synthetic Analogs)
SX 1
DT P
CO USXXAM
PY 1988
LA Eng
OS MARPAT 109:92639
AN CA109(11):92639k
GI

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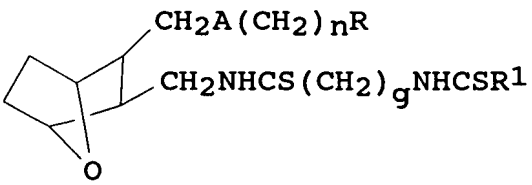


I

AB Title compds. I (A = CH:CH, CH2CH2; R = CO2H, alkoxycarbonyl, tetrazolyl; R1 = H, alkyl, alkenyl, alkynyl, aryl, arylalkyl, alkoxy, aryloxy, arylsulfonyloxy, etc.; n = 1-5; q = 1-12) their stereoisomersand salts, which are cardiovascular agents, useful, e.g., in the treatment of thrombotic disease (no data), are prepd. tert-Bu [1S-[1.alpha.,2.beta.(5Z),3.beta.,4.alpha.]]-7-[3-[[[1-thioxo-2-[(1-thioxoheptyl)amino]ethyl]amino]methyl]-7-oxabicyclo[2.2.1]hept-2-yl]-5-heptenoate was prepd. in 5 steps from Me [1S-[1.alpha.,2.beta.(5Z),3.beta.,4.alpha.]]-7-[3-(hydroxymethyl)-7-oxabicyclo[2.2.1]hept-2-yl]-5-heptenoate.

IT **115778-41-1P 115778-42-2P**
(prepn. of, as antithrombotic)

=> d bib abs hitrn 11-20

L24 ANSWER 11 OF 26 COPYRIGHT 1993 ACS
AN CA108(25):218682u
TI Preparation of vesicles comprising a compound having a hydrophilic peptide radical and use thereof in an assay and kit
AU Wagner, Daniel B.; Piran, Uri
CS Becton, Dickinson and Co.
LO USA
SO U.S., 6 pp.
PI US 4717676 A 5 Jan 1988
AI US 86-835781 3 Mar 1986
IC ICM G01N033-544
NCL 436501000
SC 9-1 (Biochemical Methods)
SX 1, 34
DT P
CO USXXAM
PY 1988
LA Eng
OS MARPAT 108:218682
AN CA108(25):218682u
AB Sacs including a detectable marker and derivatized with a ligand comprise, in part, compd. XYZ (X = hydrophobic radical; Y = hydrophilic peptide; Z = radical including a nonhydrolyzable polar group). Tracer sacs for a digoxin assay were prepd. by dissolving an equimolar mixt. of cholesterol and .beta.-alanylglycylglycyl dioctadecylamide (I) derivatized with a sulfophenyl isothiocyanate and 200 .mu.g I derivatized with digoxin dialdehyde in a 9:1 mixt. of CHCl3 and MeOH, evapg. to dryness, adding 0.1M sulforhodamine B in water at 60.degree., sonicating, washing with a buffer (310 milliosmolal), and filtering through a 0.4-.mu.m filter.
IT 114515-11-6DP, reaction products with digoxin dialdehyde **114541-93-4P**
(prepn. of and tracer sacs contg., for digoxin assay)

L24 ANSWER 12 OF 26 COPYRIGHT 1993 ACS
AN CA106(25):214398s
TI Peptide elastase inhibitors and methods
AU Digenis, George A.; Agha, Bushra J.; Tsuji, Kiyoshi
CS University of Kentucky Research Foundation
LO USA

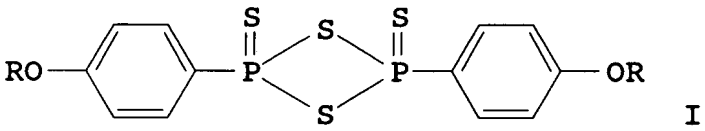
SO U.S., 24 pp.
PI US 4643991 A 17 Feb 1987
AI US 84-683316 18 Dec 1984
IC ICM A61K037-64
ICS C07K005-08
NCL 514018000
SC 34-3 (Amino Acids, Peptides, and Proteins)
SX 1, 7, 63
DT P
CO USXXAM
PY 1987
LA Eng
AN CA106(25):214398s
AB Z-Ala-Ala-Pro-CH2-NR1CO-XR [I; Z = R2O2CCH2CH2CO (Q); R2 = alkyl, CF3CO; X = O, S; R1 = (cyclo)alkyl, alkenyl, alkynyl, benzyl; R = (un)substituted Ph, CH2CF2CF2CF3, alkyltetrazolyl, 1-phenyltetrazolyl], having elastase inhibiting activity, are prepd. Peptide coupling of Q-Ala-Ala-OH (R2 = Me) with H-Pro-CH2N(CHMe2)CO2C6H4NO2-p by a conventional method gave I [Z = Q where R2 = Me, R = C6H4NO2-p, R1 = CHMe2, X = O]. I were tested against trypsin, chymotrypsin, and porcine pancreatic (PP) elastase and were found to have temporary inhibiting effect on PP elastase and no effect on trypsin or chymotrypsin.
IT 92279-32-8P 92279-33-9P 102284-58-2P 102284-60-6P
102284-61-7P 102306-04-7P 108143-78-8P 108143-82-4P
108143-85-7P 108143-86-8P 108143-90-4P 108143-94-8P
108143-98-2P 108155-90-4P 108155-92-6P 108155-98-2P
108156-01-0P 108156-04-3P 108156-07-6P 108156-10-1P
108156-13-4P 108156-17-8P 108156-20-3P **108156-25-8P**
(prepn. of, as elastase inhibitor)

L24 ANSWER 13 OF 26 COPYRIGHT 1993 ACS
AN CA105(3):19458p
TI Inserting amino acid analogs into proteins
AU Rubin, Harvey
LO USA
SO U.S., 6 pp. Cont.-in-part of U.S. Ser. No. 262,303, abandoned.
PI US 4568640 A 4 Feb 1986
AI US 83-476925 21 Mar 1983
PRAI US 81-262303 11 May 1981
IC ICM C12P021-02
NCL 435070000
SC 2-5 (Mammalian Hormones)
SX 6
DT P
CO USXXAM
PY 1986
LA Eng
AN CA105(3):19458p
AB Modified proteins are prepd. by amino acid substitution during translation by means of altered tRNA insertion at a codon to effect incorporation of an amino acid, other than that specified by the mRNA codon, into the translation product. Thus, glutamine acylated glutamic-acid-tRNA [glutamine tRNA)] prepd. by mixing glutamic acid-tRNA with glutamine in the presence of tRNA synthetase was incorporated in a translation mixt. contg. endorphin mRNA-enriched polysomes, a 100 .mu.L reticulocyte lysate mixt., and an amino acid

mixt. devoid of glycine, tyrosine, and glutamic acid. The mixt. was incubated for 0 min at 30.degree.. The ACTH/.beta.-lipotropin mol. obtained was treated with clostripain to yield endorphin with glutamic acid-8 substituted by glutamine. The structure was verified by Edman degrdn. Endorphins with phenylalanine 4 and 18 substituted by pNH2 phenylalanine, with phenylalanines substituted by pCl, with lysine substituted by thiolysine, and with glycine 2 substituted by alanine were similarly prepd. The tRNAs used for substitution may be modified by misacylation or anti-codon alteration.

IT 102790-66-9 102790-67-0 102790-68-1 **102821-96-5**
(formation of, in vitro translation system contg. modified tRNA for)

L24 ANSWER 14 OF 26 COPYRIGHT 1993 ACS
AN CA100(23):192077h
TI p-Alkoxyphenylthionophosphine sulfide dimers
AU Belleau, Bernard R.; Franchini, Carlo
CS Bristol-Myers Co.
LO USA
SO U.S., 7 pp.
PI US 4428889 A 31 Jan 1984
AI US 81-263793 14 May 1981
IC C07F009-40; C07C103-52
NCL 260927000R
SC 29-7 (Organometallic and Organometalloidal Compounds)
SX 34
DT P
CO USXXAM
PY 1984
LA Eng
AN CA100(23):192077h
GI



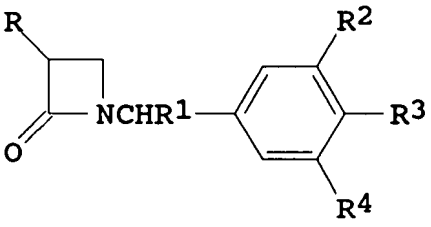
I

AB Title compds. I (R = C4-6 alkyl) were prepd. as thiation reagents for peptides. Thus, phenol was o-alkylated with Me(CH2)4Br in EtOH contg. NaOEt to give Me(CH2)4OPh, which was treated with P4S10 for 6 h at 150.degree. to give I (R = n-pentyl) (II). Boc-Phe-Met-OMe (Boc = Me3CO2C) was thiated by II in THF at room temp. for 24 h to give 80% Boc-NHCH(CH2Ph)C(S)-Met-OMe.

IT 1071-83-6P 14309-88-7P **90058-16-5P 90058-17-6P**
90058-18-7P 90058-19-8P 90058-20-1P
(prepn. of)

L24 ANSWER 15 OF 26 COPYRIGHT 1993 ACS
AN CA94(9):65461m
TI 4-Unsubstituted azetidinone derivatives
AU Hashimoto, Masashi; Hemmi, Keiji; Kamiya, Takashi; Komori, Tadaaki; Nakaguti, Osamu; Saito, Yoshihisa; Shiokawa, Youichi; Takasugi, Hisahi; Takaya, Takao; Teraji, Tsutomu

CS Fujisawa Pharmaceutical Co., Ltd.
LO Japan
SO U.S., 130 pp. Cont.-in-part of U.S. Ser. No. 694,891, abandoned.
PI US 4207234 10 Jun 1980
AI US 75-593668 7 Jul 1975
IC C07D205-08; C07D401-12; C07D403-12; C07D409-12
NCL 260239000A
SC 27-5 (Heterocyclic Compounds (One Hetero Atom))
DT P
CO USXXAM
PY 1980
LA Eng
AN CA94(9):65461m
GI



I

AB Lactacillanic acids and analogs I (R = NH2, acylamino, benzenesulfonamido; R1 = CO2H, pharmaceutically acceptable salt or ester deriv. of CO2H; R2 = H, NH2, NO2, halo, alkoxy, alkylthio; R3 = H, OH, alkyl, alkylthio, OCH2Ph; R4 = H, Halo, alkoxy, alkylthio), which showed bactericidal activity, were prepd. Thus, 3-aminolactacillanic acid reacted with PhCH2COCl in water-Me2CO contg. NaHCO3 to yield I (R = PhCH2CONH, R1 = CO2H, R3 = OH, R2 = R4 = H).

IT **59510-69-9 59510-71-3** 59510-73-5
59510-75-7
(deacylation of)
IT **59510-70-2P 59510-76-8P**
(prepn. and deacylation of)
IT 19789-85-6P 30017-02-8P 59508-81-5P 59508-82-6P 59508-84-8P
59508-85-9P 59508-86-0P 59508-87-1P 59508-88-2P 59508-89-3P
59508-90-6P 59508-91-7P 59508-94-0P 59508-96-2P 59508-97-3P
59508-98-4P 59508-99-5P 59509-00-1P 59509-01-2P 59509-02-3P
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59511-86-3P 59511-87-4P **59511-89-6P**
(prepn. of)
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59547-68-1P 59547-69-2P 59547-70-5P 62105-86-6P 62634-84-8P
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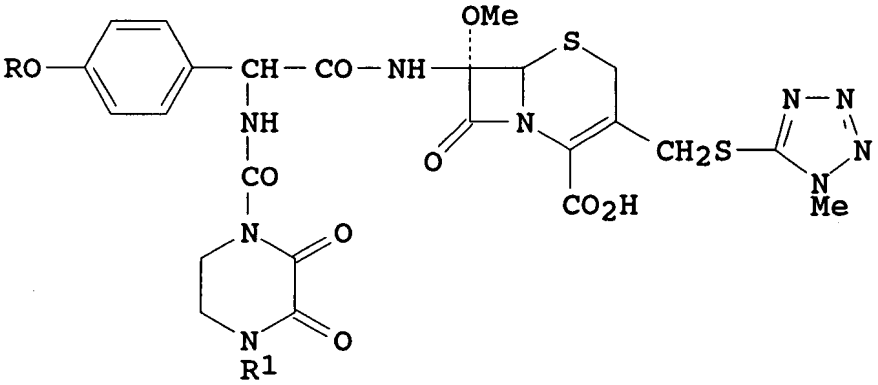
75270-43-8P 75270-44-9P 75270-45-0P 75270-46-1P 75270-47-2P
75270-48-3P 75270-49-4P **75270-50-7P** 75270-56-3P
75270-57-4P 75283-26-0P
(prepn. of)

L24 ANSWER 16 OF 26 COPYRIGHT 1993 ACS
AN CA93(21):204677f
TI 7.alpha.-Methoxy substituted cephalosporins
AU Matsumura, Hiromu; Nagata, Wataru; Narisada, Masayuki; Tsuji, Teruji
CS Shionogi and Co., Ltd.
LO Japan
SO U.S., 6 pp.
PI US 4211779 8 Jul 1980
PRAI JP 76-98376 17 Aug 1976
IC A61K031-545; C07D501-36
NCL 424246000
SC 28-15 (Heterocyclic Compounds (More Than One Hetero Atom))
DT P
CO USXXAM
PY 1980
LA Eng
AN CA93(21):204677f
GI



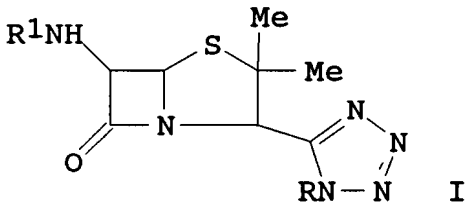
I

AB Cephemcarboxylic acids I (R = H, CONH2, Ac, EtCO, PrCO, CSNH2, methylthiocarbamoyl, CONMe2, CONHCOCCl3, CONHAc, CO2Me, CO2Et, CO2Pr, CO2CHMe2; R1 = Me, Et, Pr, CHMe2, Bu, CHMeEt, CH2CHMe2, CMe3) were prepd. by different methods, and I are useful as bactericides (no data). Benzhydryl 7.alpha.-methoxy-7.beta.-amino-3-[(1-methyl-1H-tetrazol-5-ylthio)methyl]-3-cephem-4-carboxylate was treated with N-(4-ethyl-2,3-dioxo-1-piperazinecarbonyl)-.alpha.-(4-hydroxyphenyl)glycine and ClCOCOCl, and the product was stirred with CF3CO2H in CH2Cl2-PhOMe-C6H6 to give I (R = H, R1 = Et).

IT 64233-55-2P 75500-43-5P 75500-45-7P 75500-46-8P 75500-47-9P
75500-48-0P 75500-49-1P 75500-50-4P 75500-51-5P 75500-52-6P
75500-53-7P 75500-54-8P 75500-55-9P 75500-56-0P 75500-57-1P
75500-58-2P 75500-59-3P 75500-60-6P **75500-61-7P**
75500-62-8P 75500-63-9P 75500-64-0P 75506-10-4P 75506-11-5P
75518-67-1P 75518-68-2P

(prepn. of)

L24 ANSWER 17 OF 26 COPYRIGHT 1993 ACS
AN CA91(5):39467m
TI Antibacterial 3-(5-tetrazolyl)penam compounds
AU Barth, Wayne E.
CS Pfizer Inc.
LO USA
SO U.S., 81 pp.
PI US 4143039 6 Mar 1979
AI US 73-407097 17 Oct 1973
IC C07D499-28; C07D499-44
NCL 260239100
SC 28-8 (Heterocyclic Compounds (More Than One Hetero Atom))
DT P
CO USXXAM
PY 1979
LA Eng
AN CA91(5):39467m
GI



I

AB Title compds. I (R = protective group, R1 = acyl), which exhibited bactericidal activity, were prepd. by different methods. I (R = 4-MeOC6H4CH2, R1 = H) was deprotected by CF3CO2H, and the product was treated with PhCH2COCl to yield I (R = H, R1 = PhCH2CO). Some I were obtained by cyclocondensation of N-substituted 3-penamcarboxamides with tetramethylguanidinium azide.

IT 56852-84-7 56852-85-8 56852-86-9 56852-97-2 69166-89-8
69166-90-1 **69166-91-2** **69166-92-3** 69166-93-4
69166-94-5 69179-95-9 70377-03-6
(bactericidal activity of)

IT 56851-99-1P 56852-00-7P 56852-01-8P 56852-02-9P 56852-03-0P
56852-04-1P 56852-05-2P 56852-07-4P 56852-08-5P 56852-09-6P
56852-11-0P **56852-13-2P** 56852-14-3P 56852-15-4P
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70427-37-1P 70427-38-2P 70427-39-3P
(prepn. and bactericidal activity of)

IT 56851-82-2P 56851-86-6P 56851-89-9P 56851-91-3P 56851-99-1P
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56852-86-9P 56854-46-7P 56854-47-8P 56854-50-3P 56854-52-5P
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70427-41-7P 70469-84-0P 70492-61-4P 70650-15-6P
(prepn. of)
IT 62-56-6, reactions 105-55-5 109-46-6 503-87-7 534-13-4
583-39-1 872-35-5 **70379-33-8**
(S-alkylation by [(chloroacetamido)acetamido]penam deriv.)
IT **70379-34-9**
(S-alkylation of thioureas by)

L24 ANSWER 18 OF 26 COPYRIGHT 1993 ACS
AN CA90(19):152170b
TI Antibacterial 3-(5-tetrazolyl)penam compounds
AU Barth, Wayne E.
CS Pfizer Inc.
LO USA
SO U.S., 81 pp.
PI US 4115385 19 Sep 1978
AI US 73-407097 17 Oct 1973
IC C07D499-28
NCL 260239100
SC 28-8 (Heterocyclic Compounds (More Than One Hetero Atom))
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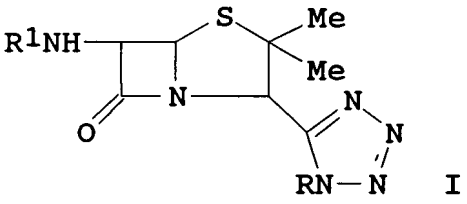
PY 1978
LA Eng
AN CA90(19):152170b
GI



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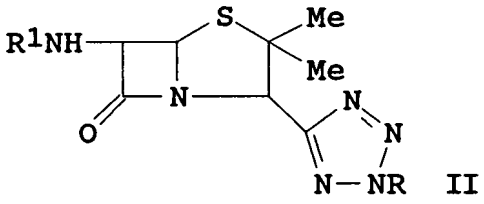

II

AB A series of title compds. I (R = H, trialkylsilyl, alkanoyloxymethyl, 1-alkanoyloxyethyl, 3-phthalidyl; R1 = acyl group of an org. carboxylic acid) were prepd. and exhibited bactericidal activity. Thus, a 3-(N-benzylcarbamoyl)penam deriv. was treated with ClSiMe3 and COCl2 at .apprx.4.degree., tetramethylguanidinium azide was added, the mixt. was agitated at room temp., and the product was desilylated to give I (R = H, R1 = CPh3) (II); II was mixed with 4-MeC6H4SO3H, PhCH2COCl was added, and the mixt. was kept at pH 5.5-6.5 to give I (R = H, R1 = PhCH2CO).
IT **56852-13-2** 56852-60-9 56852-97-2 69166-88-7
69166-89-8 69166-90-1 **69166-91-2** **69166-92-3**
69166-93-4 69166-94-5
(bactericidal activity of)
IT 56851-99-1P 56852-00-7P 56852-01-8P 56852-02-9P 56852-03-0P
56852-04-1P 56852-05-2P 56852-06-3P 56852-08-5P 56852-09-6P
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(prepn. and bactericidal activity of)
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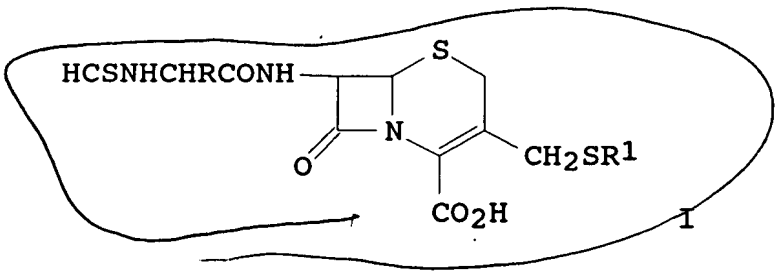
69497-77-4P 69815-61-8P 69832-45-7P
(prepn. of)

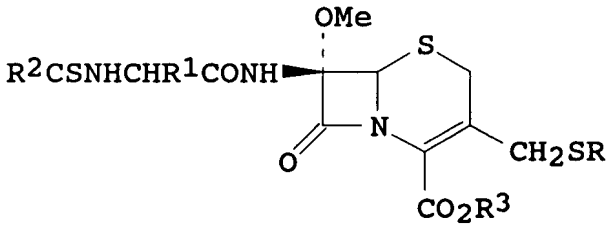
L24 ANSWER 19 OF 26 COPYRIGHT 1993 ACS
AN CA86(17):121354c
TI 3-Heterothio derivatives of (.alpha.-thiocarbonylamino) cephalosporins
AU Breuer, Hermann; Treuner, Uwe D.
CS Squibb, E. R., and Sons, Inc.
LO USA
SO U.S., 8 pp.
PI US 3996219 7 Dec 1976
AI US 75-581446 28 May 1975
IC C07D501-22
NCL 260243000C
SC 28-15 (Heterocyclic Compounds (More Than One Hetero Atom))
DT P
CO USXXAM
PY 1976
LA Eng
AN CA86(17):121354c
GI



AB Cephalosporins I (R = Ph, 2-thienyl, R1 = 1-methyl-5-tetrazolyl; R = Ph, R1 = 5-methyl-1,3,4-thiadiazol-2-yl) were prepd. by treating 7-aminocephalosporanic acid with the heterocyclic thiols, esterifying the cephems, treating the esters with 4-MeOC6H4CH2O2CNHCHRCO2H deblocking, and treating the amines with HCSOEt.
IT 60891-35-2P **62260-19-9P** 62279-87-2P 62279-88-3P
(prepn. and hydrolysis of)
IT 36988-22-4P **62260-16-6P 62260-17-7P 62260-18-8P 62287-60-9P**
(prepn. of)

L24 ANSWER 20 OF 26 COPYRIGHT 1993 ACS
AN CA86(17):121352a
TI 3-Heterothio derivatives of (.alpha.-thiocarbonylamino)-7.alpha.-methoxycephalosporins
AU Breuer, Hermann; Treuner, Uwe D.
CS Squibb, E. R., and Sons, Inc.

LO USA
SO U.S., 8 pp.
PI US 3994889 30 Nov 1976
AI US 75-581441 28 May 1975
IC C07D501-24
NCL 260243000C
SC 28-15 (Heterocyclic Compounds (More Than One Hetero Atom))
DT P
CO USXXAM
PY 1976
LA Eng
AN CA86(17):121352a
GI



I

AB The cephalosporins I (R = 1-methyl-1H-tetrazol-5-yl, R1 = Ph, 2-thienyl, R2 = H; R = 3-methyl-1,2,4-thiadiazol-5-yl, R1 = Ph, 2-thienyl; R2 = H, Me) were prepd. Thus, diphenylmethyl 7-amino-7.alpha.-methoxy-3-[(1-methyl-1H-tetrazol-5-ylthio)methyl]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylate was treated with 4-MeOC6H4CH2O2CNHCHPhCO2H followed by F3CCO2H to give 7.beta.-[(aminophenylacetyl)amino]-7.alpha.-methoxy-3-[(1-methyl-1H-tetrazol-5-ylthio)methyl]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboyxlic acid-F3CCO2H, which with Et thioformate gave I (R = 1-methyl-1H-tetrazolyl-5-yl, R1 = Ph, R2 = H).
IT 62202-22-6P 62202-27-1P **62202-30-6P**
(prepn. and reaction with trichloroacetic acid)
IT **62202-25-9P 62202-26-0P 62202-32-8P**
62228-40-4P
(prepn. of)

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ENTER ANSWER SET L# OR (L24):.
E1 THROUGH E2 ASSIGNED

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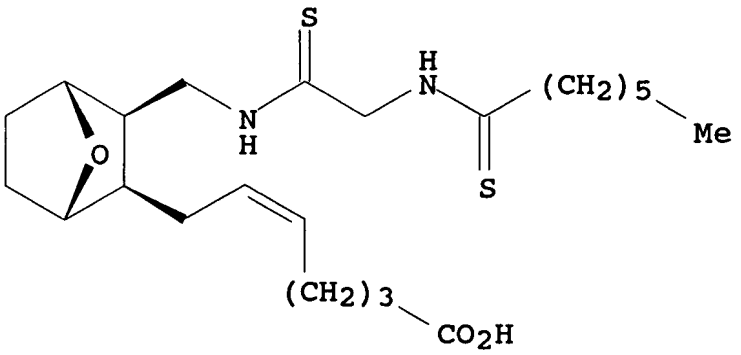
STRUCTURE FILE UPDATES: 25 SEP 93 HIGHEST RN 150282-88-5
DICTIONARY FILE UPDATES: 28 SEP 93 HIGHEST RN 150282-88-5

=> s e1-2
1 115778-41-1/RN
1 115778-42-2/RN
L25 2 (115778-41-1/RN OR 115778-42-2/RN)

=> d 1-2

L25 ANSWER 1 OF 2 COPYRIGHT 1993 ACS
RN **115778-42-2** REGISTRY
CN 5-Heptenoic acid, 7-[3-[[[1-thioxo-2-[(1-thioxoheptyl)amino]ethyl]amino]methyl]-7-oxabicyclo[2.2.1]hept-2-yl]-, [1S-[1.alpha.,2.alpha.(Z),3.alpha.,4.alpha.]]- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 7-Oxabicyclo[2.2.1]heptane, 5-heptenoic acid deriv. (9CI)
MF C23 H38 N2 O3 S2
SR CA
LC BEILSTEIN, CA
DES *

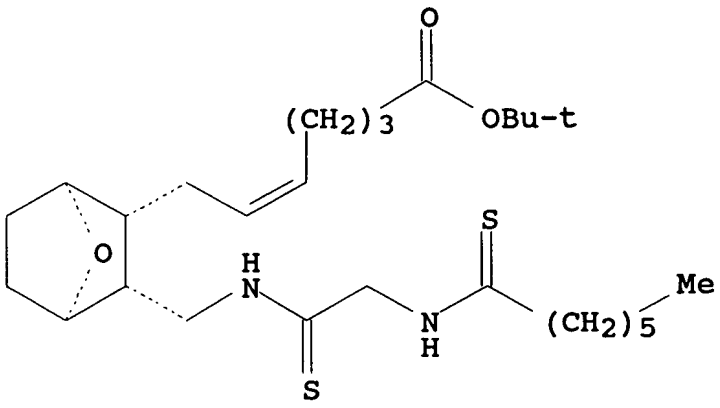
Absolute stereochemistry.
Double bond geometry as shown.



2 REFERENCES IN FILE CA (1967 TO DATE)

L25 ANSWER 2 OF 2 COPYRIGHT 1993 ACS
RN **115778-41-1** REGISTRY
CN 5-Heptenoic acid, 7-[3-[[[1-thioxo-2-[(1-thioxoheptyl)amino]ethyl]amino]methyl]-7-oxabicyclo[2.2.1]hept-2-yl]-, 1,1-dimethylethyl ester, [1S-[1.alpha.,2.alpha.(Z),3.alpha.,4.alpha.]]- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 7-Oxabicyclo[2.2.1]heptane, 5-heptenoic acid deriv. (9CI)
MF C27 H46 N2 O3 S2
SR CA
LC BEILSTEIN, CA
DES *

Absolute stereochemistry.
Double bond geometry as shown.



1 REFERENCES IN FILE CA (1967 TO DATE)

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STRUCTURE FILE UPDATES:  25 SEP 93   HIGHEST RN 150282-88-5
DICTIONARY FILE UPDATES: 28 SEP 93   HIGHEST RN 150282-88-5
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